

### Amendments to the Specification

**Page 1, immediately after the title, please insert:**

This application is a U.S. national stage of International Application No. PCT/JP03/09012 filed July 16, 2003.

**Page 16, line 2, after the formulas to page 17, line 3, please rewrite as follows:**

wherein  $R^1$ ,  $R^3$  and  $R^5$  are each as defined above,  $R^{4a}$  is alkyl group, cycloalkyl group, cycloalkylalkyl group or lower alkoxy lower alkyl group,  $R^8$  is amino protecting group,  $R^9$  is alkyl group or aryl group,  $R^{12a}$  is hydrogen atom, lower alkyl group or lower alkoxy lower alkyl group and X is a leaving group such as halogen atom (chlorine atom, bromine atom or iodine atom), alkanesulfonyloxy (e.g., methanesulfonyloxy, ~~ethanesulfonisoxo~~ ethanesulfonyloxy, propanesulfonyloxy or trifluoromethanesulfonyloxy etc.) or arylsulfonyloxy (e.g., phenylsulfonyloxy or tolylsulfonyloxy etc.) and the like.

**Page 19, lines 4-15, please rewrite as follows:**

The compound (V) is used for this reaction as a free carboxylic acid, or as a reactive derivative thereof, and both embodiments are encompassed in this reaction. To be specific, it is subjected to this reaction as a free acid or a salt with a base such as sodium, potassium, calcium, triethylamine, pyridine and the like, or a reactive derivative thereof such as an acid halide (acid chloride, acid bromide etc.), an acid anhydride, a mixed acid anhydride [a mixed acid anhydride with substituted phosphoric acid (dialkylphosphoric acid etc.), an alkyl carbonate (monoethyl carbonate etc.) and the like], an active amide (amide with imidazole and the like), an ester (cyanomethyl ester, 4-nitrophenyl ester etc.) and the like.

**Page 32, line 9 to page 33, line 8, please rewrite as follows:**

As the hydroxy protecting group for  $R^{14}$ , for example, a group capable of forming ethers and acetals acetals, such as methyl ether, isopropyl ether, tert-butyl ether, benzyl ether, allyl

~~ether, methoxymethyl ether, tetrahydropyranyl ether, p-bromophenacyl ether, trimethylsilyl ether~~  
and the like, like, a group capable of forming esters, esters such as formyl, acetyl,  
monochloroacetyl, dichloroacetyl, trifluoroacetyl, methoxycarbonyl, ethoxycarbonyl,  
benzyloxycarbonyl, p-nitrobenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, benzoyl,  
methanesulfonyl, benzenesulfonyl, p-toluenesulfonyl and the like, and the like can be mentioned.

**Page 39, lines 12-20, please write as follows:**

(6) The compound (0.8 g) obtained in (5) was dissolved in methanol (8 mL) and 4M aqueous sodium hydroxide solution (3 mL) was added. The mixture was stirred at 80°C for 15 min. The solvent was evaporated under reduced pressure and the obtained residue was dissolved in chloroform (50 mL). The solution was washed successively with water and saturated brine and dried over sodium sulfate. Chloroform was evaporated under reduced pressure and the The obtained residue was purified by silica gel column chromatography to give N-(4,6-dimethyl-5-nitroindolin-7-yl)-2,2-dimethylpropanamide (0.68 g).

**Page 39, line 25 to page 40, line 1, please rewrite as follows:**

(7) The compound (3.5 g) obtained in (6) was dissolved in N,N-dimethylformamide (40 mL) and sodium hydride (60% oil suspension) (576 mg) was added in portions under a nitrogen atmosphere and under ice-cooling. After stirring at room temperature for 10 min, octyl iodide (2.6 mL) was added and the mixture was at the same temperature for 17 hr. Water (100 mL) was added and the mixture was extracted with diethyl ether (300 mL). The diethyl ether layer was washed successively with water and saturated brine and dried over sodium sulfate. Diethyl ether was evaporated under reduced pressure and the The obtained residue was purified by silica gel column chromatography to give the title compound as crystals (3.2 g).

**Page 65, lines 6-24, please rewrite as follows:**

(5) The compound (5.9 g) obtained in (4) was dissolved in methanol (185 mL), and 5% palladium-carbon (1.78 g) was added. The mixture was subjected to catalytic hydrogenation at 35°C, 3 kgf/cm<sup>2</sup> for 16 hr. Palladium-carbon was filtered off, and the solvent was evaporated under reduced pressure. Ethyl acetate (50 mL) was added to the obtained crystalline residue and the crystals were washed by stirring the mixture and collected by filtration to give ~~1-acetyl-2-methoxymethyl-4,6-dimethylindoline~~ 1-acetyl-7-amino-2-methoxymethyl-4,6-dimethylindoline hydrobromide as crystals (4.95 g). The obtained crystals were dissolved in methylene chloride (50 mL), and pivaloyl chloride (1.94 mL) was added and triethylamine (4.4 mL) was added dropwise under ice-cooling. The mixture was stirred at the same temperature for 1 hr, and the reaction mixture was washed successively with 5% aqueous citric acid, water and saturated brine (each 50 mL) and dried over sodium sulfate. Methylene chloride was evaporated under reduced pressure and the obtained residue was purified by silica gel column chromatography to give N-(1-acetyl-2-methoxymethyl-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide (4.87 g).